

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTANXR1625

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

***** Welcome to STN International *****

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	OCT 02	CA/Caplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	3	OCT 19	BEILSTEIN updated with new compounds
NEWS	4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	5	NOV 19	WPIX enhanced with XML display format
NEWS	6	NOV 30	ICSD reloaded with enhancements
NEWS	7	DEC 04	LINPADOCDB now available on STN
NEWS	8	DEC 14	BEILSTEIN pricing structure to change
NEWS	9	DEC 17	USPATOLD added to additional database clusters
NEWS	10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	11	DEC 17	DGENE now includes more than 10 million sequences
NEWS	12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	14	DEC 17	CA/Caplus enhanced with new custom IPC display formats
NEWS	15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	16	JAN 02	STN pricing information for 2008 now available
NEWS	17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	19	JAN 28	MARPAT searching enhanced
NEWS	20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	23	FEB 08	STN Express, Version 8.3, now available
NEWS	24	FEB 20	PCI now available as a replacement to DPCI
NEWS	25	FEB 25	IFIREF reloaded with enhancements
NEWS	26	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	27	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	28	MAR 31	IFICDB, IFIPAT, and IFIUDS enhanced with new custom IPC display formats
NEWS	29	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	30	MAR 31	CA/Caplus and CASREACT patent number format for U.S. applications updated
NEWS	31	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	32	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS EXPRESS	FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008		

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

***** STN Columbus *****

FILE 'HOME' ENTERED AT 17:25:57 ON 03 APR 2008

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:26:07 ON 03 APR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 APR 2008 HIGHEST RN 1011757-08-6
DICTIONARY FILE UPDATES: 2 APR 2008 HIGHEST RN 1011757-08-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

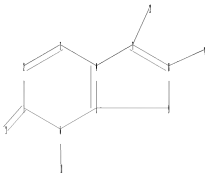
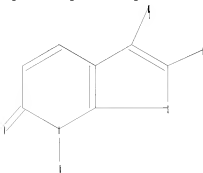
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10561051.str



```

chain nodes :
11 12 13 14
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-11 6-13 7-12 8-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9
exact/norm bonds :
1-2 1-6 1-11 2-3 3-4 4-5 5-6 6-13 7-12 8-14
exact bonds :
4-7 5-9 7-8 8-9
isolated ring systems :
containing 1 :

```

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:CLASS 13:Atom 14:CLASS

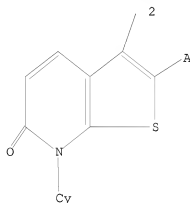
```

L1 STRUCTURE UPLOADED

```

=> d l1
L1 HAS NO ANSWERS
L1 STR

```



Structure attributes must be viewed using STN Express query preparation.

```

=> s l1
SAMPLE SEARCH INITIATED 17:26:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 128 TO ITERATE

100.0% PROCESSED 128 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

```

```

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH **COMPLETE**
PROJECTED ITERATIONS: 1882 TO 3238
PROJECTED ANSWERS: 2 TO 124

```

L2 2 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 17:26:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2591 TO ITERATE

100.0% PROCESSED 2591 ITERATIONS 27 ANSWERS
SEARCH TIME: 00.00.01

L3 27 SEA SSS FUL L1

=> file caplus		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	178.36	178.57

FILE 'CAPLUS' ENTERED AT 17:26:34 ON 03 APR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 3 Apr 2008 VOL 148 ISS 14
FILE LAST UPDATED: 2 Apr 2008 (20080402/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

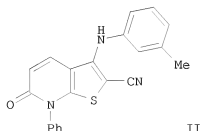
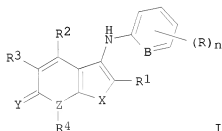
<http://www.cas.org/infopolicy.html>

=> s l3 full
L4 10 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2008 ACS ON STN
 ACCESSION NUMBER: 2006:516682 CAPLUS
 DOCUMENT NUMBER: 145:27972
 TITLE: Process for palladium catalyzed C-N coupling
 INVENTOR(S): Schlummer, Bjoern; Scholz, Ulrich; Smith, Ian
 PATENT ASSIGNEE(S): Ucb, S.A., Belg.
 SOURCE: PCT Int. Appl., 28 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006056412	A1	20060601	WO 2005-EP12509	20051123
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
DE 102004056821	A1	20060601	DE 2004-102004056821	20041124
AU 2005308941	A1	20060601	AU 2005-308941	20051123
CA 2586440	A1	20060601	CA 2005-2586440	20051123
EP 1817313	A1	20070815	EP 2005-808296	20051123
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
IN 2007DN03451	A	20070831	IN 2007-DN3451	20070509
KR 2007086565	A	20070827	KR 2007-714254	20070622
PRIORITY APPLN. INFO.:			DE 2004-102004056821A	20041124
			DE 2004-102004056820A	20041124
			WO 2005-EP12509 W	20051123
OTHER SOURCE(S):		CASREACT 145:27972; MARPAT 145:27972		
GI				



AB The invention relates to a process for the preparation of thieno[2,3-b]pyridine derivs. I [wherein X = O, S, NH, or CH2; Y = O or S; Z and B = independently N or CH; R1-R3 = independently H, (pseudo)halo, OH, NO2, (un)substituted alkyl, alkoxy, aryl, etc.; R4 = H, (un)substituted alkyl, aryl, or arylalkyl; R = independently H, (pseudo)halo, OH, NO2, (un)substituted alkyl, alkoxy, aryl, etc.; n = 0-5] comprising coupling of

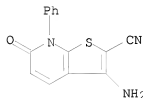
an aryl halide or an aryloxysulfonyl compound with an amine in the presence of palladium catalyst. For example, 3-amino-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-nitrile was reacted with 3-bromotoluene in the presence of tris(dibenzylideneacetone)palladium, a phosphorus ligand, and potassium phosphate to give II (87%). The process is useful for the formation of C-N bonds.

IT 639481-33-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(palladium catalyzed C-N coupling)

RN 639481-33-7 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-6-oxo-7-phenyl-
(CA INDEX NAME)



REFERENCE COUNT:

11

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 2005:409526 CAPLUS

DOCUMENT NUMBER: 142:463710

TITLE: Preparation of thieno[2,3-b]pyridinone derivatives as kinase, especially p38 MAP kinase, inhibitors useful in the treatment of and/or prevention of immune or inflammatory disorders

INVENTOR(S): Alexander, Rikki Peter; Davis, Jeremy Martin; Hutchings, Martin Clive; Laing, Victoria Elizabeth; Trevitt, Graham Peter

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 181 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

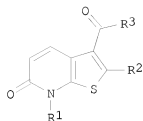
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

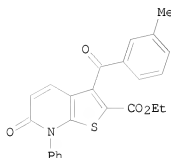
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042540	A1	20050512	WO 2004-GB4490	20041022
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004285752	A1	20050512	AU 2004-285752	20041022
CA 2540881	A1	20050512	CA 2004-2540881	20041022
EP 1680429	A1	20060719	EP 2004-769004	20041022
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
JP 2007509123	T	20070412	JP 2006-536178	20041022
US 20070078131	A1	20070405	US 2006-576731	20060420
PRIORITY APPLN. INFO.:			GB 2003-24902	A 20031024
			GB 2003-29490	A 20031219
			GB 2004-2918	A 20040210
			GB 2004-16934	A 20040729
			WO 2004-GB4490	W 20041022

OTHER SOURCE(S): MARPAT 142:463710

GI



I



II

AB Title compds. I [wherein R1 = (un)substituted (C3-7 cycloalkyl)methyl, hetero/aryl; R2 = H, NO2, CN, CO2H and derivs., NH2 and derivs., etc.; R3 = (un)substituted hetero/aryl; and their pharmaceutically acceptable salts] were prepared as p38 MAP kinase inhibitors for treating and/or preventing immune or inflammatory disorders. For example, II was prepared by reacting Et 3-bromo-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-carboxylate (preparation given) with 3-methylbenzaldehyde and oxidation with

MnO2.

I are potent inhibitors of p38 MAP kinase (IC50 around 2 μ M and below), especially p38 α kinase.

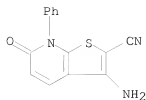
IT 639481-33-7P, 3-Amino-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile 639481-35-9P, 3-Amino-7-(2-chlorophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile 817177-56-3P, 3-Amino-2-nitro-7-phenylthieno[2,3-b]pyridin-6(7H)-one 851748-38-4P, 3-Amino-7-(2-chlorophenyl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one 851748-57-7P, 3-Amino-7-(2-fluorophenyl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one 851748-69-1P, 3-Amino-7-(6-chloropyridin-3-yl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one 851749-70-7P, 3-Amino-7-(2,6-difluorophenyl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one 851749-97-8P, 3-Amino-7-(4-methylphenyl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one 851750-11-3P, 3-Amino-7-(4-fluorophenyl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of thienopyridinones as p38 MAP kinase inhibitors useful in the treatment of and/or prevention of immune or inflammatory disorders)

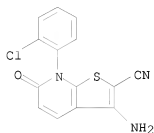
RN 639481-33-7 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-6-oxo-7-phenyl- (CA INDEX NAME)



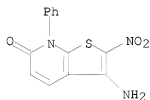
RN 639481-35-9 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-7-(2-chlorophenyl)-6,7-dihydro-6-oxo- (CA INDEX NAME)



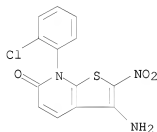
RN 817177-56-3 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-2-nitro-7-phenyl- (CA INDEX NAME)



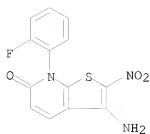
RN 851748-38-4 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(2-chlorophenyl)-2-nitro- (CA INDEX NAME)

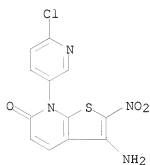


RN 851748-57-7 CAPLUS

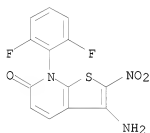
CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(2-fluorophenyl)-2-nitro- (CA INDEX NAME)



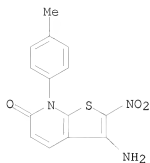
RN 851748-69-1 CAPLUS
 CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(6-chloro-3-pyridinyl)-2-nitro-
 (CA INDEX NAME)



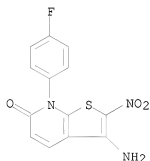
RN 851749-70-7 CAPLUS
 CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(2,6-difluorophenyl)-2-nitro-
 (CA INDEX NAME)



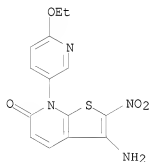
RN 851749-97-8 CAPLUS
 CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(4-methylphenyl)-2-nitro- (CA
 INDEX NAME)



RN 851750-11-3 CAPLUS
 CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(4-fluorophenyl)-2-nitro- (CA INDEX NAME)



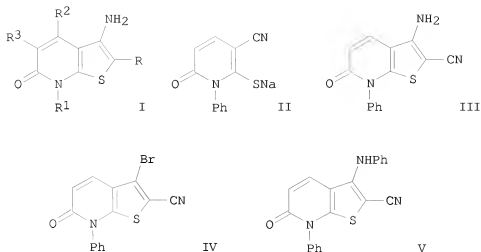
IT 851748-71-5P, 3-Amino-7-(6-ethoxypyridin-3-yl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of thienopyridinones as p38 MAP kinase inhibitors useful in the treatment of and/or prevention of immune or inflammatory disorders)
 RN 851748-71-5 CAPLUS
 CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(6-ethoxy-3-pyridinyl)-2-nitro- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:1154722 CAPLUS
 DOCUMENT NUMBER: 142:93797
 TITLE: Process for preparing 3-aminothienopyridone
 derivatives and their applications to the synthesis of
 p38 MAP kinase inhibitors
 INVENTOR(S): Evans, Graham Robert; Smith, Ian Harold; Tremayne,
 Neil; Jones, Leighton; Langston, Marianne
 PATENT ASSIGNEE(S): Celltech R & D Limited, UK
 SOURCE: PCT Int. Appl., 56 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113349	A1	20041229	WO 2004-GB2680	20040618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004249507	A1	20041229	AU 2004-249507	20040618
CA 2528927	A1	20041229	CA 2004-2528927	20040618
EP 1638980	A1	20060329	EP 2004-743031	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007516163	T	20070621	JP 2006-516465	20040618
US 20070191608	A1	20070816	US 2006-561051	20060608
PRIORITY APPLN. INFO.:			GB 2003-14493	A 20030620
			GB 2003-29471	A 20031219
			WO 2004-GB2680	W 20040618
OTHER SOURCE(S):	MARPAT 142:93797			
GI				



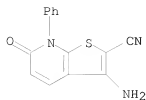
AB This invention provides a class of 3-amino-7H-thieno[2,3-b]pyridin-6-one derivs. I [wherein R = cyano, NO₂, CO₂Alk₂, C(O)alkyl, CONHhet₂; Alk₂ = (un)substituted alkyl or aryl; Het₂ = (un)substituted 4/5/6-membered heterocycloalkyl; R₁ = (un)substituted (hetero)aryl or (hetero)cycloalkyl; R₂, R₃ = H or a hydrogen atom precursor, or salts, solvates, hydrates, protected derivs. and N-oxides thereof], a process for their preps., and the use thereof as intermediates in the manufacture of certain p38 MAP kinase inhibitors. For example, 2-cyano-N-phenylthioacetamide was treated with N,N-dimethyluracil to give crude thiolate II containing about 20% ethanol, which was directly refluxed with chloroacetonitrile in acetonitrile for 2 h to afford amine III. This compound underwent diazotization and subsequent halide displacement with tert-butyl nitrite and CuBr₂, leading to bromide IV. Pd-catalyzed N-alkylation of III with bromobenzene or amination of IV with aniline yielded V. Conversion of this product to the corresponding carboxamide was realized by the hydrolysis of the cyano group in the presence of NaOH-H₂O-Ethanol system.

IT 639481-33-7P, 3-Amino-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile 639481-34-8P, 3-Amino-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-carboxylic acid ethyl ester 639481-35-9P, 3-Amino-7-(2-chlorophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile 639481-42-8P, 3-Amino-7-cyclopropyl-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile 817177-51-8P, 3-Amino-7-phenyl-2-[(pyrrolidin-1-yl)carbonyl]-7H-thieno[2,3-b]pyridin-6-one 817177-53-0P 817177-55-2P, (S)-3-Amino-2-[(2-hydroxymethylpyrrolidin-1-yl)carbonyl]-7-phenyl-7H-thieno[2,3-b]pyridin-6-one 817177-56-3P, 3-Amino-2-nitro-7-phenyl-7H-thieno[2,3-b]pyridin-6-one 817177-58-5P, 3-Amino-2-(4-ethylpiperazin-1-ylcarbonyl)-7-phenyl-7H-thieno[2,3-b]pyridin-6-one

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for preparing 3-aminothienopyridone derivs. and their applications to the synthesis of p38 MAP kinase inhibitors)

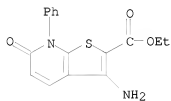
RN 639481-33-7 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-6-oxo-7-phenyl- (CA INDEX NAME)



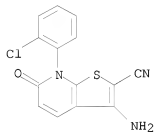
RN 639481-34-8 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxylic acid, 3-amino-6,7-dihydro-6-oxo-7-phenyl-, ethyl ester (CA INDEX NAME)



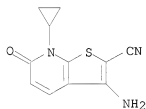
RN 639481-35-9 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-7-(2-chlorophenyl)-6,7-dihydro-6-oxo- (CA INDEX NAME)



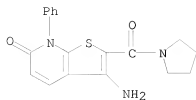
RN 639481-42-8 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-7-cyclopropyl-6,7-dihydro-6-oxo- (CA INDEX NAME)



RN 817177-51-8 CAPLUS

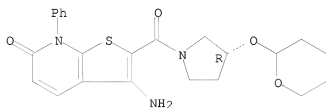
CN Pyrrolidine, 1-[(3-amino-6,7-dihydro-6-oxo-7-phenylthieno[2,3-b]pyridin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 817177-53-0 CAPLUS

CN Pyrrolidine, 1-[(3-amino-6,7-dihydro-6-oxo-7-phenylthieno[2,3-b]pyridin-2-yl)carbonyl]-3-[(tetrahydro-2H-pyran-2-yl)oxy]-, (3R)- (9CI) (CA INDEX NAME)

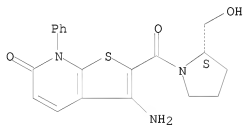
Absolute stereochemistry.



RN 817177-55-2 CAPLUS

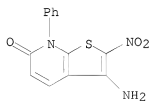
CN 2-Pyrrolidinemethanol, 1-[(3-amino-6,7-dihydro-6-oxo-7-phenylthieno[2,3-b]pyridin-2-yl)carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



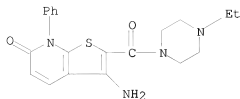
RN 817177-56-3 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-2-nitro-7-phenyl- (CA INDEX NAME)

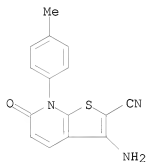


RN 817177-58-5 CAPLUS

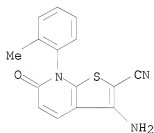
CN Piperazine, 1-[(3-amino-6,7-dihydro-6-oxo-7-phenylthieno[2,3-b]pyridin-2-yl)carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)



IT 639481-38-2P, 3-Amino-7-(4-methylphenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile 639481-44-0P, 3-Amino-7-(2-methylphenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (process for preparing 3-aminothienopyridone derivs. and their applications to the synthesis of p38 MAP kinase inhibitors)
 RN 639481-38-2 CAPLUS
 CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-7-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 639481-44-0 CAPLUS
 CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-7-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS ON STN
 ACCESSION NUMBER: 2004:1154721 CAPLUS
 DOCUMENT NUMBER: 142:93796
 TITLE: Preparation of thienopyridone derivatives as p38 MAPK inhibitors
 INVENTOR(S): Brookings, Daniel Christopher; Davis, Jeremy Martin; Langham, Barry John
 PATENT ASSIGNEE(S): Celltech R & D Limited, UK
 SOURCE: PCT Int. Appl., 90 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113348	A1	20041229	WO 2004-GB2644	20040618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004249498	A1	20041229	AU 2004-249498	20040618
CA 2528603	A1	20041229	CA 2004-2528603	20040618
EP 1638979	A1	20060329	EP 2004-742997	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2007516162	T	20070621	JP 2006-516453	20040618
US 20060247269	A1	20061102	US 2006-561050	20060629
PRIORITY APPLN. INFO.:			GB 2003-14490	A 20030620
			GB 2003-29495	A 20031219
			WO 2004-GB2644	W 20040618
OTHER SOURCE(S):	MARPAT 142:93796			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein X = covalent bond, NH or N(alkyl); Y = C(O) or S(O)2; A = (CH2)q; B = (CH2)m; n = 0 or 1; m = 1-3; p = 0-4; q = 0-2; R = (un)substituted OH, alkoxy or amino; L = O, S, S(O), S(O)2 or CH2, CHR or CR2, NH or N(alkyl); ALK1 = alkylene; Cyl = (un)substituted (hetero)cycle or (hetero)aryl; Ar = (un)substituted (hetero)aryl; or salts, solvates, hydrates and N-oxides thereof] were prepared as p38 MAPK inhibitors. For example, II was synthesized in several steps from Et 3-bromo-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-carboxylate (preparation given), via amination with 2,4-difluoroaniline, ester hydrolysis, carboxy group activation with pentafluorophenol and coupling with cis-2-aminocyclopentanol hydrochloride. Example compds. had IC50 values of around 1 µM and below for human p38α kinase. Therefore, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of immune or inflammatory disorders.

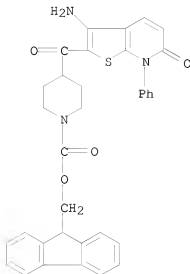
IT 816464-43-4P, 9H-Fluoren-9-ylmethyl 4-[(3-amino-6-oxo-7-phenyl-6,7-

dihydrothieno[2,3-b]pyridin-2-yl)carbonyl]piperidine-1-carboxylate
 816464-48-9P, Benzyl 4-[(3-amino-6-oxo-7-phenyl-6,7-
 dihydrothieno[2,3-b]pyridin-2-yl)carbonyl]piperidine-1-carboxylate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of thienopyridone derivs. as p38 MAPK inhibitors)

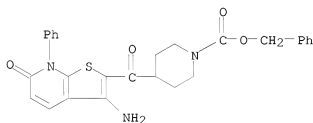
RN 816464-43-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(3-amino-6,7-dihydro-6-oxo-7-
 phenylthieno[2,3-b]pyridin-2-yl)carbonyl]-, 9H-fluoren-9-ylmethyl ester
 (CA INDEX NAME)



RN 816464-48-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(3-amino-6,7-dihydro-6-oxo-7-
 phenylthieno[2,3-b]pyridin-2-yl)carbonyl]-, phenylmethyl ester (CA INDEX
 NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 2004:1154720 CAPLUS

DOCUMENT NUMBER: 142:93795

TITLE: Preparation of thienopyridone derivatives as p38 α kinase inhibitors

INVENTOR(S): Brookings, Daniel Christopher; Davis, Jeremy Martin; Langham, Barry John

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

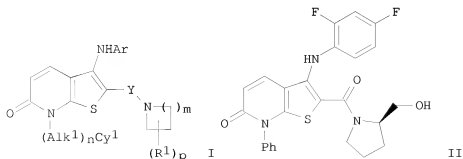
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113347	A1	20041229	WO 2004-GB2621	20040618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004249495	A1	20041229	AU 2004-249495	20040618
CA 2528602	A1	20041229	CA 2004-2528602	20040618
EP 1641804	A1	20060405	EP 2004-742976	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010653	A	20060704	BR 2004-10653	20040618
CN 1809575	A	20060726	CN 2004-80017320	20040618
JP 2007516161	T	20070621	JP 2006-516443	20040618
MX 2005PA13227	A	20060309	MX 2005-PA13227	20051206
IN 2005DN05823	A	20080201	IN 2005-DN5823	20051214
NO 2006000279	A	20060320	NO 2006-279	20060119
US 20070099894	A1	20070503	US 2006-561052	20061010
PRIORITY APPLN. INFO.:			GB 2003-14492	A 20030620
			GB 2003-29485	A 20031219
			WO 2004-GB2621	W 20040618

OTHER SOURCE(S): CASREACT 142:93795; MARPAT 142:93795

GI

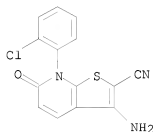


AB Title compds. I [Y = linking group CO, SO₂; n = 0-1; m, p = 1-4; R₁ = OH, alkylene-OH, alkoxy, etc.; Alk₁ = alkylene; Cyl = cycloaliph., aromatic, heteroarom., etc.; Ar = (un)substituted (hetero)aromatic, etc.] are prepared For instance, 3-Bromo-7-phenyl-2-[[[(2R)-2-[[[(tetrahydro-2H-pyran-2-yl)oxy)methyl]pyrrolidin-1-yl]carbonyl]thieno[2,3-b]pyridin-6(7H)-one (preparation given) is coupled to 2,4-difluoroaniline (PhMe, Cs₂CO₃, BINAP, Pd₂(dba)₃, reflux 48 h) and the resulting product deprotected with HCl to give II. All compds. inhibit p38 kinase with IC₅₀ of 1 μM or less. I are useful for the treatment and/or prevention of immune or inflammatory disorders.

IT 639481-35-9P, 3-Amino-7-(2-chlorophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of thienopyridone derivs. as p38α kinase inhibitors)

RN 639481-35-9 CAPLUS

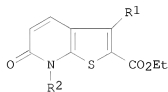
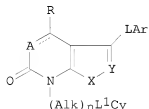
CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-7-(2-chlorophenyl)-6,7-dihydro-6-oxo- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:143162 CAPLUS
 DOCUMENT NUMBER: 140:181432
 TITLE: Preparation of bicyclic heteroaromatic compounds as p38 kinase inhibitors
 INVENTOR(S): Brookings, Daniel Christopher; Davis, Jeremy Martin; Langham, Barry John
 PATENT ASSIGNEE(S): Celltech R & D Limited, UK
 SOURCE: PCT Int. Appl., 75 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014920	A1	20040219	WO 2003-GB3501	20030811
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2495518	A1	20040219	CA 2003-2495518	20030811
AU 2003252990	A1	20040225	AU 2003-252990	20030811
EP 1539769	A1	20050615	EP 2003-784288	20030811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005537300	T	20051208	JP 2004-527055	20030811
US 20060025428	A1	20060202	US 2005-524199	20050728
PRIORITY APPLN. INFO.:			GB 2002-18800	A 20020813
			WO 2003-GB3501	W 20030811
OTHER SOURCE(S):		MARPAT 140:181432		
GI				



AB Title compds. I [A = N, (un)substituted CH, dashed line is a double bond; A = (un)substituted NH, CH2, dashed line is a single bond; X = O, S, (un)substituted NH, S(O), SO2; Y = N, (un)substituted CH; Alk = (un)substituted aliphatic, heteroaliph.; n = 0, 1; Ar = (un)substituted aromatic, heteroarom.; L = atom, alkylene, heteroalkylene; L1 = bond, linker atom, linker group; Cy = H, (un)substituted cycloaliph, polycycloaliph., heterocyclic, polyheterocyclic, aromatic, heteroarom.; R = H, CN, (un)substituted alkyl, CO2H, CONH2], especially 6-oxo-6,7-dihydrothieno[2,3-

b]pyridine derivs., which are inhibitors of p38 kinase of use in the treatment and/or prevention of immune or inflammatory disorders (no data) were prepared. Thus, II [R1 = NHCH2Ph, r2 = Ph] was prepared from 2-chloronicotinitrile and HSCH2CO2Et via II [R1 = Br, R2 = H] by treatment with PhB(OH)2 and PhCH2NH2.

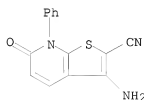
IT 639481-33-7P 639481-34-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

RN (preparation of bicyclic heteroarom. compds. as p38 kinase inhibitors)

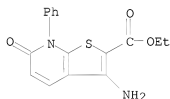
RN 639481-33-7 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-6-oxo-7-phenyl- (CA INDEX NAME)



RN 639481-34-8 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxylic acid, 3-amino-6,7-dihydro-6-oxo-7-phenyl-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 2004:2888 CAPLUS

DOCUMENT NUMBER: 140:59658

TITLE: Preparation of arylamine substituted bicyclic hetero-aromatic compounds as p38 kinase inhibitors
INVENTOR(S): Brookings, Daniel Christopher; Davis, Jeremy Martin; Langham, Barry John

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 174 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

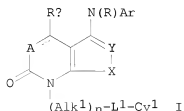
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000846	A1	20031231	WO 2003-GB2667	20030620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, IJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487718	A1	20031231	CA 2003-2487718	20030620
AU 2003253087	A1	20040106	AU 2003-253087	20030620
BR 2003011842	A	20050315	BR 2003-11842	20030620
EP 1551848	A1	20050713	EP 2003-760802	20030620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1671715	A	20050921	CN 2003-818371	20030620
JP 2005530838	T	20051013	JP 2004-515043	20030620
NZ 537740	A	20060331	NZ 2003-537740	20030620
MX 2004PA12746	A	20050323	MX 2004-PA12746	20041215
NO 2005000306	A	20050316	NO 2005-306	20050119
ZA 2005000524	A	20060830	ZA 2005-524	20050119
US 20060004025	A1	20060105	US 2005-518725	20050526
PRIORITY APPLN. INFO.:			GB 2002-14268	A 20020620
			WO 2003-GB2667	W 20030620

OTHER SOURCE(S): MARPAT 140:59658

GI



AB Bicyclic heteroarom. derivs. I; where the dashed line joining A and C(Ra) is present and represents a bond and A is a -N= atom or a -C(Rb)= group, or the dashed line is absent and A is a -N(Rb)-, or -C(Rb)(Rc)- group; X is an -O-, -S- or substituted nitrogen atom or a -S(O)-, -S(O)₂- or -NH-

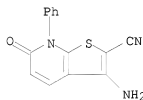
group; Y is a nitrogen or substituted carbon atom or a -CH = group; n is zero or the integer 1; Alk1 is an optionally substituted aliphatic or hetero-aliphatic chain L1 is a covalent bond or a linker atom or group; Cyl is a hydrogen atom or an optionally substituted cyclo-aliphatic, poly-cyclo-aliphatic, hetero-cyclo-aliphatic, poly-hetero-cyclo-aliphatic, aromatic or hetero-aromatic group; Ar is an optionally substituted aromatic or heteroarom. group; and the remaining substituents are defined in the specification. The compds. are potent and selective inhibitors of p38 kinase and are of use in the prophylaxis and treatment of immune or inflammatory disorders. Thus, 3-((2,4-difluorophenyl)amino)-6-oxo-7-phenyl-N-pyrrolidin-3-yl-6,7-dihydrothieno[2,3-b]pyridine-2-carboxamide was prepared as a p38 kinase inhibitor. In the p38 inhibitor assays described above compds. of the invention have IC50 values of around 1 μ M and below. The compds. of the invention are clearly potent inhibitors of p38 kinase, especially p38 α kinase.

IT 639481-33-7P 639481-34-8P 639481-35-9P
 639481-38-2P 639481-42-8P 639481-44-0P
 639481-75-7P 639481-76-8P 639482-12-5P
 639482-14-7P
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of arylamine substituted bicyclic hetero-aromatic compds. as

p38 kinase inhibitors)

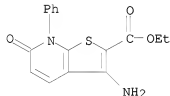
RN 639481-33-7 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-6-oxo-7-phenyl- (CA INDEX NAME)



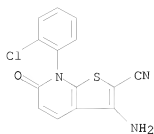
RN 639481-34-8 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxylic acid, 3-amino-6,7-dihydro-6-oxo-7-phenyl-, ethyl ester (CA INDEX NAME)



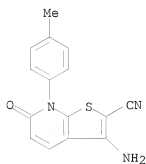
RN 639481-35-9 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-7-(2-chlorophenyl)-6,7-dihydro-6-oxo- (CA INDEX NAME)



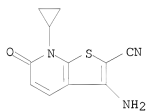
RN 639481-38-2 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-7-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



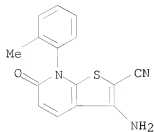
RN 639481-42-8 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-7-cyclopropyl-6,7-dihydro-6-oxo- (CA INDEX NAME)



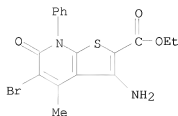
RN 639481-44-0 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-7-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



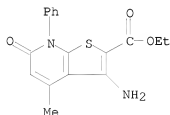
RN 639481-75-7 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxylic acid, 3-amino-5-bromo-6,7-dihydro-4-methyl-6-oxo-7-phenyl-, ethyl ester (CA INDEX NAME)



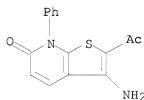
RN 639481-76-8 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxylic acid, 3-amino-6,7-dihydro-4-methyl-6-oxo-7-phenyl-, ethyl ester (CA INDEX NAME)



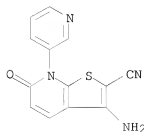
RN 639482-12-5 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 2-acetyl-3-amino-7-phenyl- (CA INDEX NAME)



RN 639482-14-7 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-6-oxo-7-(3-pyridinyl)- (CA INDEX NAME)

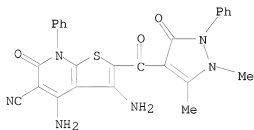


REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:29695 CAPLUS
 DOCUMENT NUMBER: 136:325480
 TITLE: Novel synthesis of thiazole, coumarin, pyridine, thiophene and thieno[2,3-b]pyridine derivatives
 AUTHOR(S): El-Taweel, F. M. A.; Elagamey, A. A.; El-Kenawy, A. A.; Waly, M. A.
 CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Mansoura University, New Damietta, Egypt
 SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (2001), 176, 215-225
 CODEN: PSSLEC; ISSN: 1042-6507
 PUBLISHER: Gordon & Breach Science Publishers
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 136:325480
 AB Several new thiazole, coumarin, pyridine, thiophene, and thienopyridines were prepared from 4-chloroacetylantipyrine and activated nitriles as starting materials.
 IT 413570-88-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of thiazole, coumarin, pyridine, thiophene, and thieno[2,3-b]pyridine derivs.)
 RN 413570-88-4 CAPLUS
 CN Thieno[2,3-b]pyridine-5-carbonitrile, 3,4-diamino-2-[(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1H-pyrazol-4-yl)carbonyl]-6,7-dihydro-6-oxo-7-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1992:531099 CAPLUS

DOCUMENT NUMBER: 117:131099

TITLE: One-pot synthesis of polyfunctionally substituted thiophenes: thieno[2,3-b]pyridine and thieno[3,4-d]pyridazine derivatives

AUTHOR(S): Mohareb, Rafat Milad

CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt

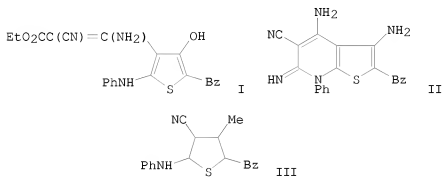
SOURCE: Gazzetta Chimica Italiana (1992), 122(4), 147-50

CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



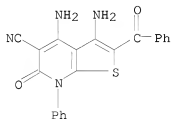
AB The enaminonitriles $\text{EtO}_2\text{CCH}_2\text{C}(\text{NH}_2):\text{C}(\text{CN})\text{CO}_2\text{Et}$, $\text{NCCH}_2\text{C}(\text{NH}_2):\text{C}(\text{CN})_2$, and $\text{MeC}(\text{:NH})\text{CH}_2\text{CN}$ treated with Ph isothiocyanate followed by cyclization with PHCH_2COBr gave the thiophene I, the thieno[2,3-b]pyridine II and the thiophene III, resp. The reactivity of the reaction products toward different reagents to form heterocyclic and fused heterocyclic ring systems was confirmed.

IT 143208-39-3P

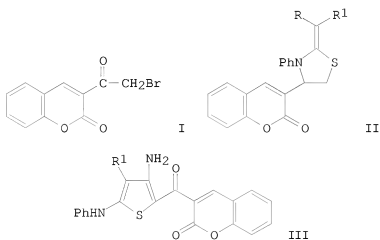
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 143208-39-3 CAPLUS

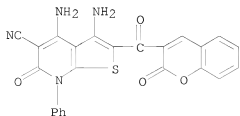
CN Thieno[2,3-b]pyridine-5-carbonitrile, 3,4-diamino-2-benzoyl-6,7-dihydro-6-oxo-7-phenyl- (CA INDEX NAME)



L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1992:407844 CAPLUS
 DOCUMENT NUMBER: 117:7844
 TITLE: Novel synthesis of 4-(coumarin-3-yl)-1,3-thiazole,
 2-(coumarin-3-carbonyl)thieno[2,3-b]pyridine, and
 2-(coumarin-3-carbonyl)thiophene derivatives
 AUTHOR(S): Mohareb, Rafat Milad; Shams, Hoda Zaki; Aziz, Suzan
 Ibrahim
 CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt
 SOURCE: Journal of Chemical Research, Synopses (1992), (5),
 154-5
 CODEN: JRPSDC; ISSN: 0308-2342
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 117:7844
 GI



AB The active methylene reagents CH₂RR₁ (R = CN, R₁ = CO₂Et; R = COMe, R₁ = COMe, CO₂Et, CONHPh) react with PhNCS followed by cyclization with I bromoacetylcoumarin to afford the thiazole derivs. II, whereas CH₂RR₁(R = CN, R₁ = CONH₂, CSNH₂, CONHPh) react with the same reagents at both low and high temps. to afford III and the thiophene derivs.
 IT 141633-02-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 141633-02-5 CAPLUS
 CN Thieno[2,3-b]pyridine-5-carbonitrile, 3,4-diamino-6,7-dihydro-6-oxo-2-[(2-oxo-2H-1-benzopyran-3-yl)carbonyl]-7-phenyl- (CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

58.34

236.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-8.00

-8.00

STN INTERNATIONAL LOGOFF AT 17:31:11 ON 03 APR 2008